AN 1992:426590 CAPLUS
DN 117:26590
TI Piperidine- and piperazine-containing arylalkylamines, process for their preparation, and pharmaceutical compositions containing them as neurokinin receptor antagonists.
IN Emonds-Alt, Xavier; Goulaouic, Pierre; Proietto, Vincenzo; Van Broeck, Didier
PA Sanofi SA, Fr.
SO Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 474561	A1	19920311	EP 1991-402382	19910905	<--
	EP 474561	B1	19981209			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	FR 2666335	A1	19920306	FR 1990-11039	19900905	<--
	FR 2666335	B1	19921211			
	FR 2678267	A1	19921231	FR 1991-7824	19910625	<--
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	NO 177226	B	19950502			
	NO 177226	C	19950809			
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	RU 2070196	C1	19961210	RU 1991-5001435	19910904	<--
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	US 5236921	A	19930817	US 1991-755454	19910905	<--
	AT 174332	T	19981215	AT 1991-402382	19910905	<--
	ES 2127722	T3	19990501	ES 1991-402382	19910905	
	CZ 285994	B6	19991215	CZ 1991-2724	19910905	
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	LT 3442	B	19951025	LT 1993-585	19930531	<--
	US 5350852	A	19940927	US 1993-105677	19930813	<--
	HK 1005290	A1	20000818	HK 1998-104394	19980521	
PRAI	FR 1990-11039	A	19900905			
	FR 1991-7824	A	19910625			
	US 1991-755454	A3	19910905			

OS MARPAT 117:26590
IT 142001-14-7P 142001-15-8P 142001-51-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neurokinin receptor antagonist)
RN 142001-14-7 CAPLUS
CN 1-Naphthalenecarboxamide, N-[2-(3,4-dichlorophenyl)-4-(4-hydroxy-4-phenyl-1-piperidinyl)butyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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NEWS 4	JUL 02	CHEMCATS accession numbers revised
NEWS 5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 6	JUL 16	CAplus enhanced with French and German abstracts
NEWS 7	JUL 18	CA/CAplus patent coverage enhanced
NEWS 8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9	JUL 30	USGENE now available on STN
NEWS 10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 11	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 12	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 13	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15	AUG 27	USPATOLD now available on STN
NEWS 16	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18	SEP 13	FORIS renamed to SOFIS
NEWS 19	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 20	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 21	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 22	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24	OCT 19	BEILSTEIN updated with new compounds
NEWS 25	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 26	NOV 19	WPIX enhanced with XML display format
NEWS 27	NOV 30	ICSD reloaded with enhancements
NEWS 28	DEC 04	LINPADOCDB now available on STN

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:28:32 ON 13 DEC 2007
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STRUCTURE FILE UPDATES: 12 DEC 2007 HIGHEST RN 957825-32-0
DICTIONARY FILE UPDATES: 12 DEC 2007 HIGHEST RN 957825-32-0

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http://www.cas.org/support/stngen/stndoc/properties.html

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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -       24 TO ITERATE

100.0% PROCESSED       24 ITERATIONS                                21 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          187 TO      773
PROJECTED ANSWERS:             146 TO      694

L2            21 SEA SSS SAM L1

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SEARCH TIME: 00.00.01

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FILE LAST UPDATED: 12 Dec 2007  (20071212/ED)

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http://www.cas.org/infopolicy.html

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=> s l3
L4          29 L3

=> s l4 and py<1999
      19238755 PY<1999
L5           6 L4 AND PY<1999

=> d abs bib hitstr 1-6

L5   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
AB   R6ZCONRCH2CR4R5CH2CH2N+R1R2R3 A- [I; A- = pharmaceutically acceptable
     anion; R = H, (ω-alkoxy)alkyl, ω-alkanoyloxyalkyl; R1R2R3 =
     atoms to form a (Ph- or PhCH2-substituted) azabicyclo- or -tricycloalkyl
     system; R4 = H; RR4 = (CH2)2-4; R5 = (un)substituted Ph, thienyl, indolyl,
     etc.; R6 = (polycyclic)(hetero)aryl; Z = bond, CH(OH), alkoxymethylene]
     were prepared  Thus, 3-(Me2HCO)C6H4CH2CONMeCH2CHR5CH2CH2R7 (R5 =
     C6H3Cl3-3,4)(II; R7 = OSO2Me) was condensed with 4-phenylquinuclidine to
     give II (R7 = 4-phenylquinuclidinium-1-yl chloride).  Data for biol.
     activity for 1 prepared I were given.
AN   1997:689545  CAPLUS
DN   127:358787
TI   Preparation and formulation of N-(quinuclidiniumylalkyl)aralkanoamides and
     analogs as tachykinin receptor ligands
IN   Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo; Van Broeck,
     Didier
PA   Sanofi, Fr.
SO   U.S., 19 pp., Cont.-in-part of U.S. 5,554,763.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.          KIND   DATE       APPLICATION NO.         DATE
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PI   US 5679693          A      19971021   US 1996-685489          19960724 <--
     FR 2696178          A1     19940401   FR 1992-12083           19920930 <--
     FR 2696178          B1     19941230
     US 5583134          A      19961210   US 1994-239417          19940506 <--
     US 5554763          A      19960910   US 1995-470249          19950606 <--
     JP 10175976         A      19980630   JP 1997-358632          19971225 <--
     JP 3243212          B2     20020107
PRAI FR 1992-12083       A      19920930
     US 1993-129311      B2     19930930
     US 1994-239417      A3     19940506
     US 1995-470249      A2     19950606
     JP 1993-268295      A3     19930930
OS   MARPAT 127:358787
IT   155308-29-5P 186137-11-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-(quinuclidiniumylalkyl)aralkanoamides and analogs as
        tachykinin receptor ligands)
RN   155308-29-5  CAPLUS
CN   1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-dichlorophenyl)-4-[[(4-fluoro-1-
     naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride, (-)- (9CI)
     (CA INDEX NAME)
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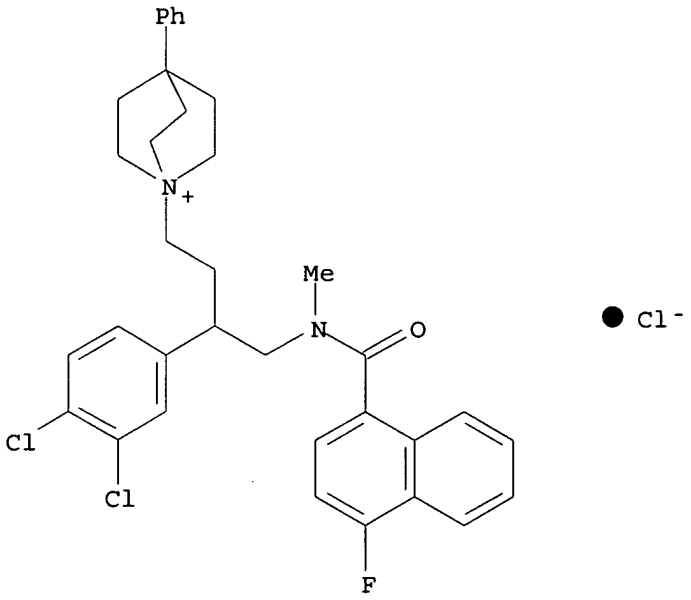
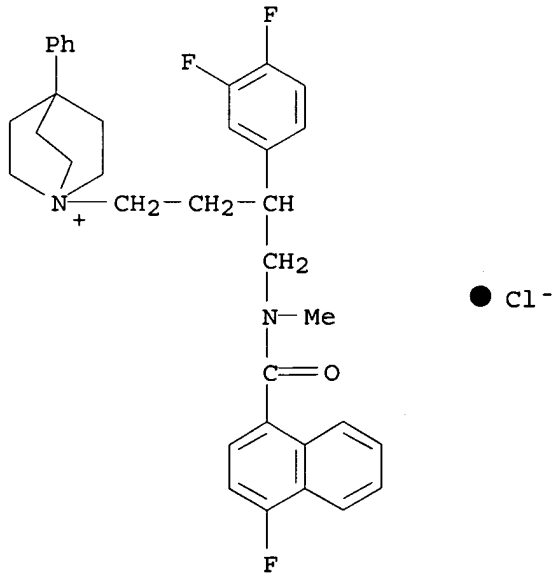


RN 186137-11-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-difluorophenyl)-4-[[(4-fluoro-1-naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
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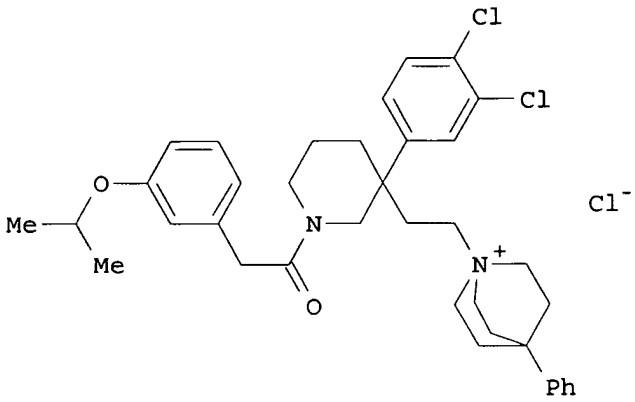

I

AB R1ZCONRCH2CR2R3CH2CH2R4 [R = H, (alkoxy)alkyl, alkanoyloxyalkyl; R1 = (heteroaryl); R2 = H; RR2 = (CH2)2-4; R3 = Ph, naphthyl, thienyl, indolyl, etc.; R4 = bridgehead N-attached di- or tricyclic heterocyclyl group together with a pharmaceutically acceptable cation; Z = bond, alkylene, etc.] were prepared Thus, (-)-3-(2-hydroxyethyl)-3-(3,4-dichlorophenyl)piperidine was amidated by 3-(Me2HC)C6H4CH2CO2H (preparation each given) and the mesylated product aminated by 4-phenylquinuclidine to give title compound (+)-I. Data for in vitro substance P antagonism by the latter were given.

AN 1997:749 CAPLUS

DN 126:117867

TI Preparation of N-[4-(1-azoniabicyclooctyl)butyl]aralkanamides and analogs as tachykinin system active agents

IN Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo; Van Broeck, Didier

PA Sanofi, Fr.

SO U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 129,311, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

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PI	US 5583134	A	19961210	US 1994-239417	19940506 <--
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	US 5679693	A	19971021	US 1996-685489	19960724 <--
	US 5712288	A	19980127	US 1996-734029	19961018 <--
	JP 10175976	A	19980630	JP 1997-358632	19971225 <--
	JP 3243212	B2	20020107		
PRAI	FR 1992-12083	A	19920930		
	US 1993-129311	B2	19930930		
	JP 1993-268295	A3	19930930		
	US 1994-239417	A3	19940506		
	US 1995-470249	A2	19950606		

OS MARPAT 126:117867

IT 155308-29-5P 186137-11-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[4-(1-azoniabicyclooctyl)butyl]aralkanamides and analogs

as tachykinin system active agents)

RN 155308-29-5 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-dichlorophenyl)-4-[[(4-fluoro-1-naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride, (-)- (9CI) (CA INDEX NAME)

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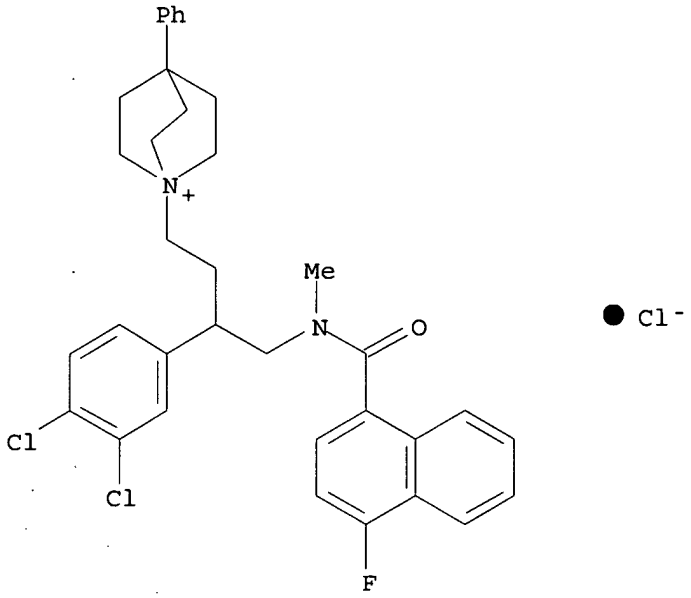
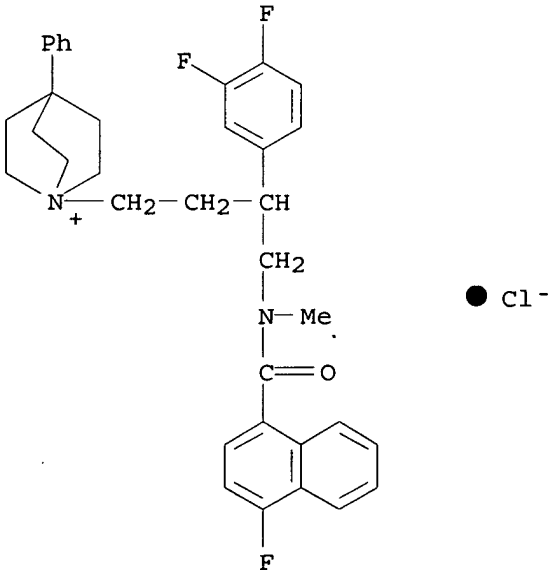


RN 186137-11-1 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-difluorophenyl)-4-[[(4-fluoro-1-naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
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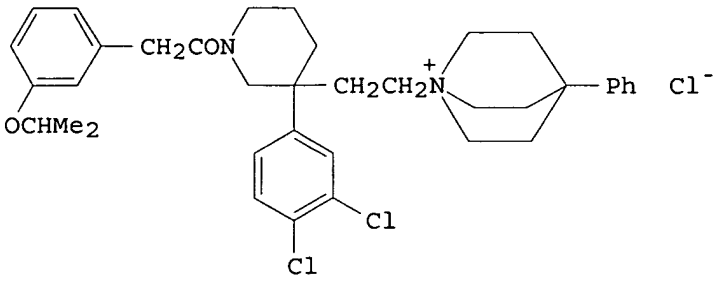

I

AB R1TCONRCH2CR2R3CH2CH2N+X1X2X3 A- [A- = pharmaceutically acceptable anion; R = H, (alkoxy)alkyl, alkanoyloxyalkyl; R1 = (hetero)aryl; R2 = (substituted)Ph, thienyl, naphthyl, etc.; RR3 = (CH2)2-4; N+X1X2X3 = azoniabicycloalkane, etc.] were prepared Thus, (+)-3-(2-methanesulfonyloxyethyl)-3-(3,4-dichlorophenyl)-1-[(3-isopropoxyphenyl)acetyl]piperidine (preparation given) was condensed with 4-phenylquinuclidine to give, after HCl treatment, title compound (+)-I which had Ki of 10-20pM for inhibition of substance P binding in vitro.

AN 1994:323276 CAPLUS

DN 120:323276

TI Preparation of 1-[(aralkanoylamino)alkyl]-1-azoniabicycloalkanes and analogs as tachykinin antagonists

IN Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo; Van Broeck, Didier

PA Elf Sanofi SA, Fr.

SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 591040	A1	19940406	EP 1993-402362	19930928	<--
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	CN 1036652	B	19971210			
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	AU 674875	B2	19970116			
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	HU 65759	A2	19940728	HU 1993-2770	19930930 <--
	HU 215848	B	19990428		
	JP 06211850	A	19940802	JP 1993-268295	19930930 <--
	JP 2857041	B2	19990210		
	BR 9303982	A	19941025	BR 1993-3982	19930930 <--
	JP 10175976	A	19980630	JP 1997-358632	19971225 <--
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PRAI	FR 1992-12083	A	19920930		
	JP 1993-268295	A3	19930930		

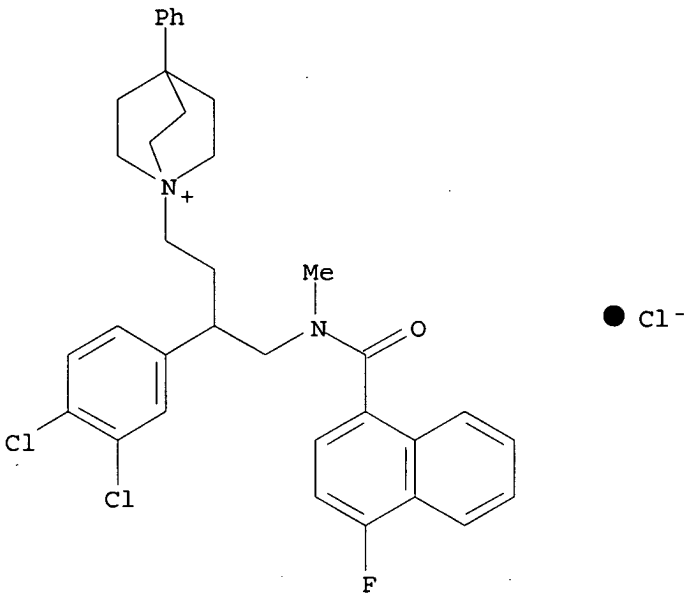
OS MARPAT 120:323276

IT 155308-29-5P 186137-11-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as tachykinin antagonist)

RN 155308-29-5 CAPLUS

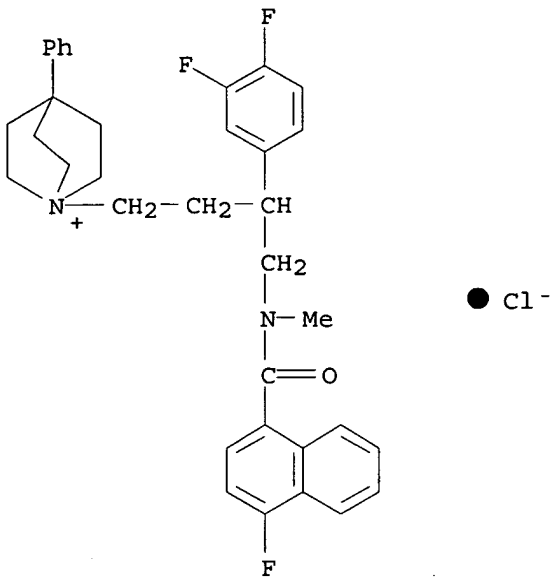
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-dichlorophenyl)-4-[[(4-fluoro-1-naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 186137-11-1 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(3,4-difluorophenyl)-4-[[(4-fluoro-1-naphthalenyl)carbonyl]methylamino]butyl]-4-phenyl-, chloride (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
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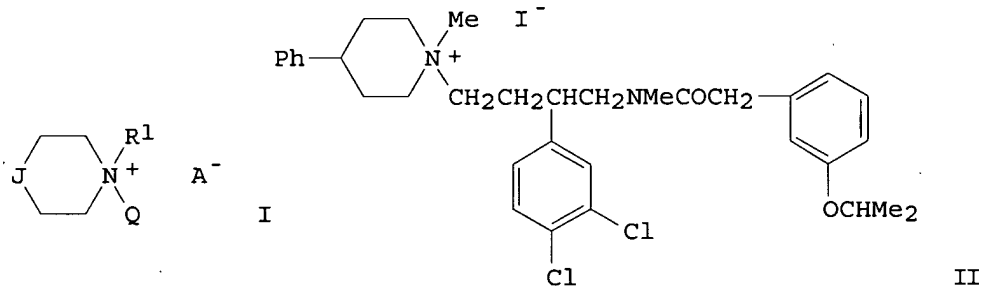


AB Title compds. [I; A = Cl, Br, iodo, Ac, MeSO2, etc.; J = CR2CR3R4R5, CR6(CH2)xR5; Q = alkyl, CH2Ph; R1 = (CH2)mCHR7CH2NRTZ; R = H, alkyl; R2-R4 = H; R2R3 = bond; R3R4 = O; R5 = cycloalkyl, (substituted) Ph, pyridyl, thienyl; R6 = H, OH, alkoxy, CO2H, alkoxycarbonyl, etc.; R7 = Ph, thienyl, naphthyl, indolyl, etc.; T = CO, C(:W)NH; W = O, S; Z = H, M or OM; M = (phenyl)alkyl, CH(OH)Ph, pyridylalkyl, etc.; m = 2 or 3; x = 0 or 1] were prepared Thus, 3,4-Cl2C6H3CH(CH2CH2OH)CH2NHMe was amidated by 3-(Me2CHO)C6H4CH2CO2H (preparation given) and the tosylated product condensed with 4-phenylpiperidine to give, after quaternization, title compound II. I had Ki of 0.1-800 nM against substance P binding at rat cortex.

AN 1994:106781 CAPLUS

DN 120:106781

TI Preparation N-(amidoalkyl)piperidinium salts as neurokinin antagonists

IN Emonds-alt, Xavier; Proietto, Vincenzo; Van, Broeck Didier; Breliere, Jean Claude

PA Elf Sanofi SA, Fr.

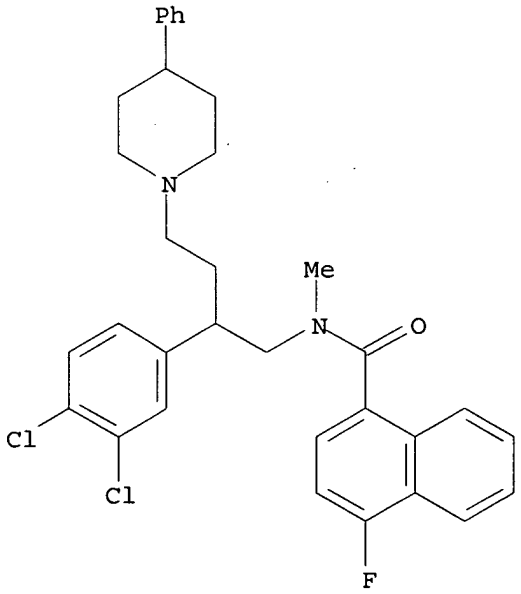
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW

DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 559538	A1	19930908	EP 1993-400513	19930301	<--
	EP 559538	B1	20000517			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	FR 2688218	A1	19930910	FR 1992-2542	19920303	<--
	FR 2688218	B1	19950324			
	FR 2688219	A1	19930910	FR 1992-12941	19921029	<--
	FR 2688219	B1	19940708			
	AT 193015	T	20000615	AT 1993-400513	19930301	
	CA 2090785	A1	19930904	CA 1993-2090785	19930302	<--
	WO 9318002	A1	19930916	WO 1993-FR215	19930303	<--
	W: JP					
	JP 06507425	T	19940825	JP 1993-515387	19930303	<--
	HU 70167	A2	19950928	HU 1993-580	19930303	<--
	HU 217838	B	20000428			
	US 5674881	A	19971007	US 1994-345341	19941121	<--
	US 5773620	A	19980630	US 1995-482546	19950607	<--
PRAI	FR 1992-2542	A	19920303			
	FR 1992-12941	A	19921029			
	US 1993-26154	B1	19930303			
	WO 1993-FR215	W	19930303			
	US 1994-345341	A3	19941121			

OS MARPAT 120:106781
IT 152298-75-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neurokinin antagonist)
RN 152298-75-4 CAPLUS
CN 1-Naphthalenecarboxamide, N-[2-(3,4-dichlorophenyl)-4-(4-phenyl-1-piperidinyl)butyl]-4-fluoro-N-methyl-, (-)- (CA INDEX NAME)

Rotation (-).



L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
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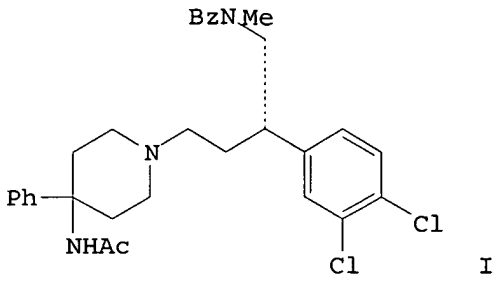
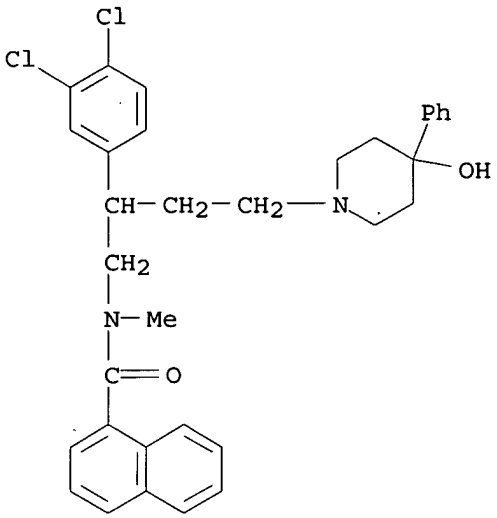


I

AB SR 48968 (I) is a potent, competitive and selective non-peptide antagonist of the neurokinin A (NK2) receptor. The synthesis of SR 48968 is described. The structure activity relationships for I analogs are shown using receptor binding and pharmacol. results.
AN 1993:573586 CAPLUS
DN 119:173586
TI Pharmacological profile and chemical synthesis of SR 48968, a non-peptide antagonist of the neurokinin A (NK2) receptor
AU Emonds-Alt, Xavier; Proietto, Vicenzo; Van Broeck, Didier; Vilain, Pol; Advenier, Charles; Neliat, Gervais; Le Fur, Gerard; Brellere, Jean Claude
CS Sanofi Rech., Montpellier, F-34184, Fr.
SO Bioorganic & Medicinal Chemistry Letters (1993), 3(5), 925-30
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
IT 150062-68-3
RL: BIOL (Biological study)
(neurokinin NK2 receptor antagonist activity of, structure in relation to)
RN 150062-68-3 CAPLUS
CN 1-Naphthalenecarboxamide, N-[2-(3,4-dichlorophenyl)-4-(4-hydroxy-4-phenyl-1-piperidinyl)butyl]-N-methyl- (CA INDEX NAME)



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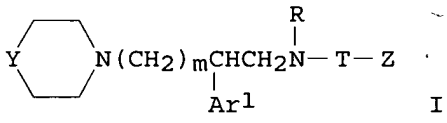
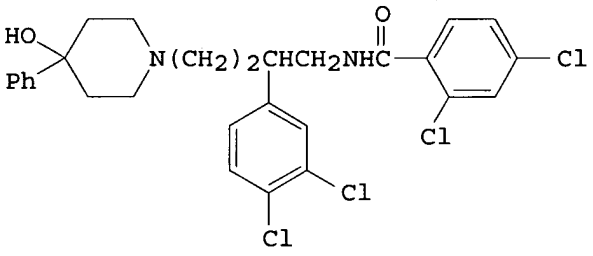
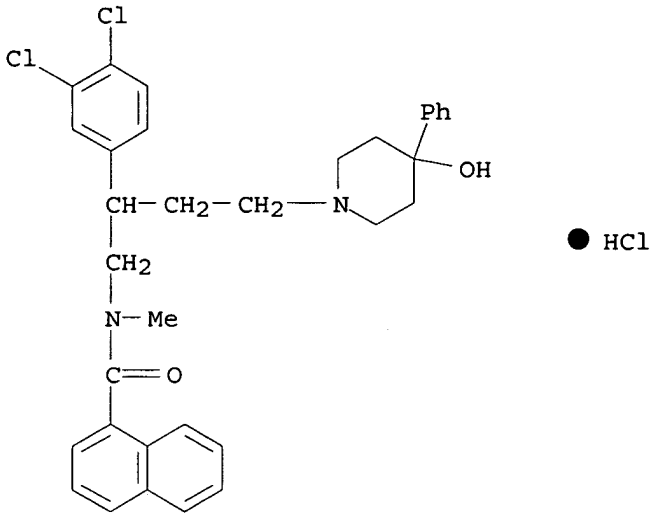


I

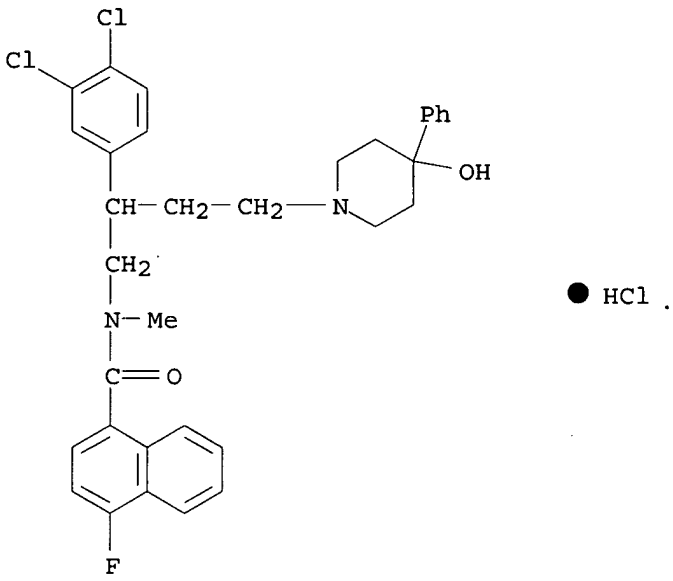


II

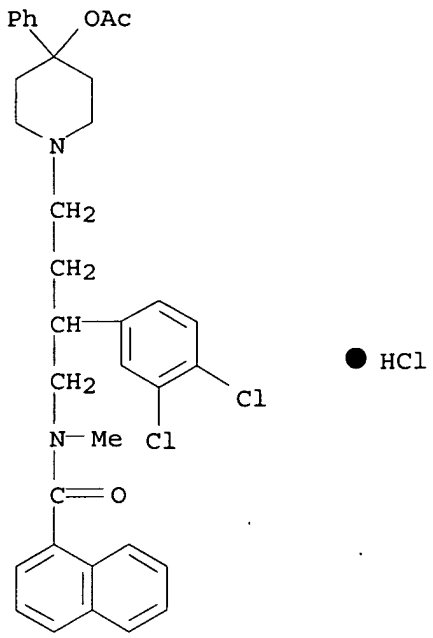
AB Title compds. I [Y = Cy-N, Ar(CH2)xC(X); Cy = (substituted) Ph, cycloalkyl, pyrimidinyl, pyridyl; Ar = (substituted) Ph, pyridyl, thienyl; x = 0, 1; X = OH, alkoxy, hydroxyalkyl, acyloxy, phenacyloxy, CO2H, carbalkoxy, cyano, aminoalkyl, (di)(alkyl)amino, alkanoylamino, acyl, etc.; m = 2, 3; Ar' = (substituted) Ph, (benzo)thienyl, naphthyl, (N-alkyl)indolyl; R = H, alkyl; T = CO, CONH, C(S)NH; Z = H, M, OM; M = alkyl, (substituted) phenylalkyl, pyridylalkyl, (substituted) naphthylalkyl, pyridylthioalkyl, styryl, etc.] were prepared for use as antiasthmatics and bronchodilators. For example, N-[2-(3,4-dichlorophenyl)-4-hydroxybutyl]-2,4-dichlorobenzamide (preparation given) was converted to the mesylate ester by MeSO2Cl, followed by amination with 4-hydroxy-4-phenylpiperidine, chromatog., and salification, to give title compound II as the HCl salt. I displaced [2-125I histidyl]-neurokinin A from NK-2 receptors of rat duodenal membranes with Ki = 0.50-3 nM, and antagonized NK-2 agonist-induced bronchospasm in guinea pigs.



RN 142001-15-8 CAPLUS
CN 1-Naphthalenecarboxamide, N-[2-(3,4-dichlorophenyl)-4-(4-hydroxy-4-phenyl-1-piperidinyl)butyl]-4-fluoro-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 142001-51-2 CAPLUS
CN 1-Naphthalenecarboxamide, N-[4-[4-(acetyloxy)-4-phenyl-1-piperidinyl]-2-(3,4-dichlorophenyl)butyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl